

Table 1

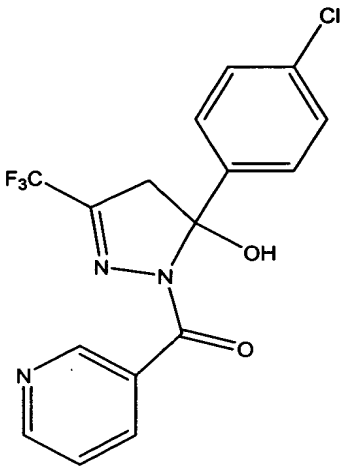
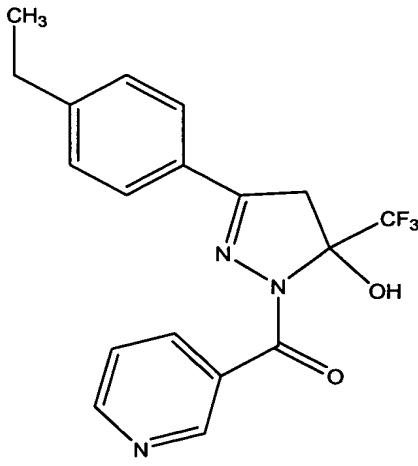
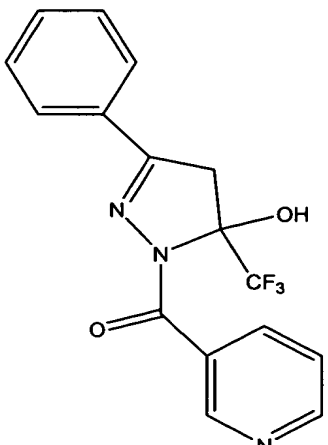
Compound	Structure	IC ₅₀ (μ M)	VENDOR	VENDOR ID
105	 <chem>Clc1ccc(cc1)C2(C(F)(F)F)N=C(C2C(=O)c3ccccn3)N</chem>	1.29	Asinex	BAS 0341 646
106	 <chem>COc1ccc(cc1)C2(C(F)(F)F)N=C(C2C(=O)c3ccccn3)N</chem>	1.74	Specs	AG-670/11899149
107	 <chem>c1ccccc1C2(C(F)(F)F)N=C(C2C(=O)c3ccccn3)N</chem>	3.51	Chembridge	5798691

Table 1, cont.

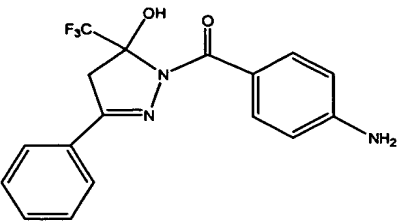
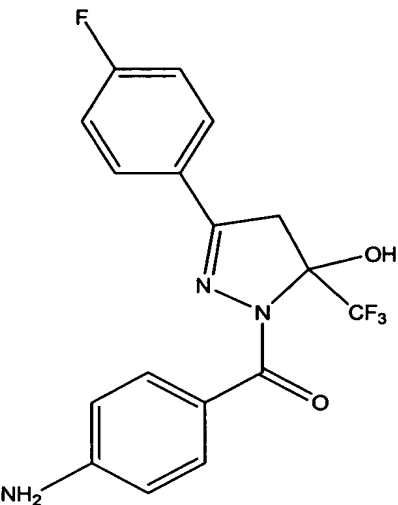
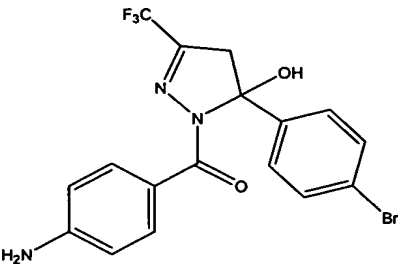
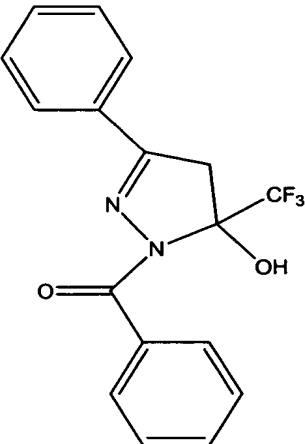
<p>108</p>		<p>3.56</p>	<p>Interbioscreen</p>	<p>1S-94315</p>
<p>109</p>		<p>3.94</p>	<p>Interbioscreen</p>	<p>2S-04374</p>
<p>110</p>		<p>4.58</p>	<p>Specs</p>	<p>AG-205/36717010</p>
<p>111</p>		<p>5.08</p>	<p>Chembridge</p>	<p>5660333</p>

Table 1, cont.

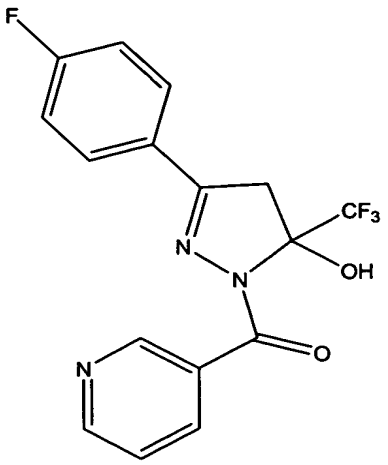
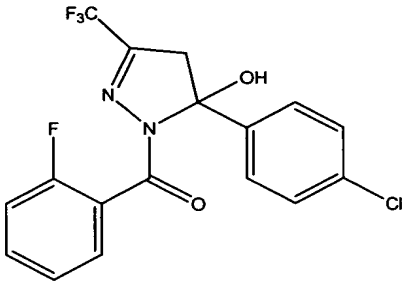
<p>112</p>		<p>5.92</p>	<p>Asinex</p>	<p>BAS 1996652</p>
<p>113</p>		<p>6.02</p>	<p>Specs</p>	<p>AG-205/36656002</p>

Table 2

Selectivity of 101, 102 and 103 for Edg-1

	101	102	103
Edg 1 IC₅₀ μM	2.25	1.69	0.74
Edg 2 IC₅₀ μM	>20	>20	>20
Edg 3 IC₅₀ μM	>20	>20	>20
Edg 4 IC₅₀ μM	>20	>20	>20
Edg 5 IC₅₀ μM	>20	>20	>20
Edg 6 IC₅₀ μM	>20	>20	>20
Edg 7 IC₅₀ μM	>20	>20	>20
Edg 8 IC₅₀ μM	>20	>20	>20
Fold Selectivity	>8.9	>11.8	>27.0

Table 3

Binding Assay	
Adrenergic	
	Alpha 1, non-selective
	Alpha 2, non-selective
	Beta, non-selective
Calcium Channels	
	Type L, DHP
Dopamine	
	D2L
Endothelin	
	ETA
H1 central	
Muscarinic, non-selective, central	
Serotonin	
	5HT1 non-selective
Angiotensin AT2	

Table 4

Selectivity of Edg-1 Agonist 104

	104
Edg 1/Gqi EC₅₀ μM	10.0
Edg 2 EC₅₀ μM	>25
Edg 3 EC₅₀ μM	>25
Edg 4 EC₅₀ μM	>25
Edg 5 EC₅₀ μM	>25
Edg 6 EC₅₀ μM	>25
Edg 7 EC₅₀ μM	>25
Edg 8 EC₅₀ μM	>25
Null/Gqi EC₅₀ μM	>25
Fold Selectivity	>2.5